Appendix C

Index of Entheogen Chemistry and Pharmacology

1. ASARONES [*Merck Index* 11: 849; see *PIHKAL* No. 157, TMA]

Synonyms: 1,2,4-trimethoxy-5-(1-propenyl)-benzene; asarin; asarum camphor; asarabacca camphor; Asaron

Physical: $C_{12}H_{16}O_3$; molecular weight 208.25; C 69.21% H 7.74% O 23.05%

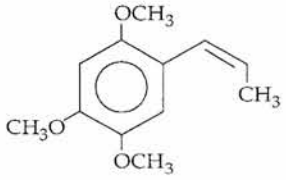
Isolation: Gatterman, *Ber. Deut. Chem. Gesell.* 32: 289, 1899 (*Asarum europaeum*); Baxter, *Nature* 185: 466, 1960 (*Acorus calamus*)

Synthesis: Seshadri, *Proc. Indian Acad. Sci.* 32A: 110, 1950; Sharma, *Indian J. Appl. Chem.* 32: 236, 1969

Chemistry: *alpha*-asarone, needles from light petroleum, mp 62–63° bp 296°, pract. insol. in water, sol. in alcohol, ether, chloroform, acetic acid

Pharmacology: reserpine-, clorpromazine-like sedative (Sharma, *Nature* 192: 1299, 1961); putative entheogen (Hoffer, *The Hallucinogens*, Academic, 1967)

Legal Status: not controlled



2. ATROPINE [*Merck Index* 11: 891]

Synonyms: *dl*-tropyl tropate; endo(±)-α-(hydroxymethyl)benzene-acetic acid 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester; *dl*-hyoscyamine; Atropin

Physical: $C_{17}H_{23}NO_3$; molecular weight 289.38; C 70.56% H 8.01% N 4.84% O 16.59%

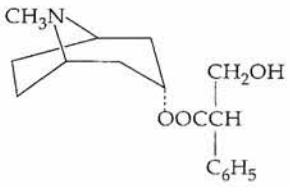
Isolation: Chemnitius, *J. Prakt. Chem.* 116: 276, 1927 (Solanaceae spp.)

Synthesis: Ladenburg, *Liebig's Ann. Chem.* 217: 75, 1883; Willstäter, *Ber. Deut. Chem. Gesell.* 31: 1537, 1898

Chemistry: orthorhombic prisms from acetone, mp 114–116°, slightly sol. in water, alcohol

Pharmacology: deliriant (Gosselin, *Clinical Toxicology of Commercial Products*, Williams & Wilkins, 1984)

Legal Status: controlled, prescription drug



3. BAEOCYSTINE

Synonyms: 3-[2-(methylamino)ethyl]-1*H*-indol-4-ol dihydrogen phosphate ester; desmethyl psilocybine; 4-phosphoryloxy-*N*-methyltryptamine; Baeocystin

Physical: $C_{11}H_{15}N_2O_4P$; molecular weight 270.28; C 48.88% H 5.59% N 10.36% O 23.68% P 11.46%

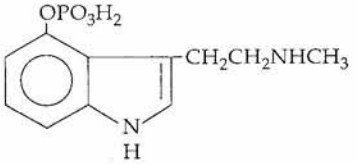
Isolation: Leung, *J. Pharm. Sci.* 57: 1667, 1968 (*Psilocybe baeocystis*); Repke, *J. Pharm. Sci.* 66: 113, 1977 (*Psilocybe semilanceata*)

Synthesis: Troxler, *Helv. Chim. Acta* 42: 2073, 1959; Brenneisen, *Arch. Pharm.* 321: 487, 1988.

Chemistry: crystals from methanol, mp 254–258° (Leung, *J. Pharm. Sci.* 57: 1667, 1968); mp 245–248° (Repke, *J. Pharm. Sci.* 66: 113, 1977)

Pharmacology: entheogenic in 10 mg oral dose; 4 mg threshold (Gartz, pers. com.); active in animals (Cerletti, *Adv. Pharmacol.* 6B: 233, 1968)

Legal Status: not scheduled, but potentially controlled analogue of psilocybine



4. BUFOTENINE [*Merck Index* 11: 1467]

Synonyms: 3-[2-(dimethylamino)ethyl]-1*H*-indol-5-ol; Bufotenin; 5-hydroxy-*N,N*-dimethyltryptamine; *N,N*-dimethylserotonine; Mappin

Physical: $C_{12}H_{16}N_2O$; molecular weight 204.26; C 70.56% H 7.90% N 13.72% O 7.83%

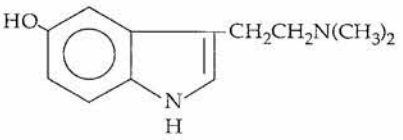
Isolation: Handovsky, *Arch. Exp. Path. Pharm.* 86: 138, 1920 (*Bufo vulgaris*); Stromberg, *J. Am. Chem. Soc.* 76: 1707, 1954 (*Anadenanthera peregrina*)

Synthesis: Hoshino, *Liebig's Ann. Chem.* 520: 19, 1935; Speeter, *J. Am. Chem. Soc.* 76: 6208, 1954; Stoll, *Helv. Chim. Acta* 38: 1452, 1955

Chemistry: prisms from ethyl acetate, mp 146–147°, pract. insol. in water, sol. in alcohol, dilute acids; methyliodide prisms from methanol mp 214–5°

Pharmacology: psychoactive 10–12 mg i.m.; 10 mg i.v. (Turner, *Arch. Neurol. Psychiatr.* 81: 121, 1959; Fabing, *Science* 123: 886, 1956)

Legal Status: controlled



5. DIETHYLTRYPTAMINE

Synonyms: 3-[2-(diethylamino)ethyl]-indole; *N,N*-diethyltryptamine; DET; T9; Diäthyltryptamin

Physical: $C_{14}H_{20}N_2$; molecular weight 216.19; C 77.72% H 9.32% N 12.96%

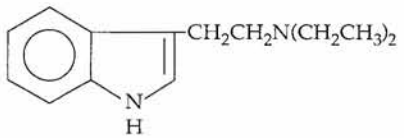
Isolation: artificial compound

Synthesis: Barlow, *Brit. J. Pharmacol.* 14: 99, 1959; Speeter, *J. Am. Chem. Soc.* 76: 6208, 1954

Chemistry: crystals from petroleum ether, mp 85–89°, sol. in ether, chloroform; hydrochloride mp 172–173°, sol. in water

Pharmacology: entheogenic at 1 mg/kg i.m. (Szára, *Proc. Third World Cong. of Psychiatr.* 1: 670, 1961; Szára, *Arch. Gen. Psychiatr.* 15: 320, 1966)

Legal Status: controlled



6. DIETHYL-4-HYDROXYTRYPTAMINE

Synonyms: 3-[2-(diethylamino)ethyl]-1*H*-indol-4-ol; 4-hydroxy-*N,N*-diethyltryptamine; CZ-74; 4-OH-DET

Physical: $C_{14}H_{20}N_2O$; molecular weight 232.45; C 72.34% H 8.67% N 12.10% O 6.88%

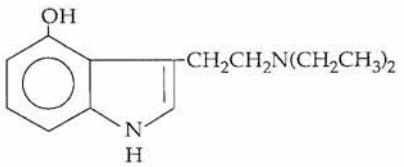
Isolation: artificial compound

Synthesis: Troxler, *Helv. Chim. Acta* 42: 2073, 1959

Chemistry: crystals from acetone, mp 104–106°

Pharmacology: entheogenic in same dose range as psilocine (Leuner, *Neuro-Psychopharmacology*, Elsevier, 1965)

Legal Status: not scheduled but potentially controlled analogue of DET



7. DIETHYL-4-PHOSPHORYLOXYTRYPTAMINE

Synonyms: 3-[2-(diethylamino)ethyl]-1*H*-indol-4-ol dihydrogen phosphate ester; 4-phosphoryloxy-*N,N*-diethyltryptamine; CY-19

Physical: $C_{14}H_{21}N_2O_4P$; molecular weight 312.31; C 53.84% H 6.78% N 8.97% O 20.49% P 9.92%

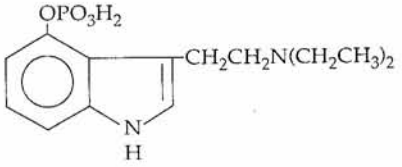
Isolation: artificial compound

Synthesis: Troxler, *Helv. Chim. Acta* 42: 2073, 1959

Chemistry: crystals from methanol mp 260–263°

Pharmacology: entheogenic in same dose range as psilocybine (Leuner, *Neuro-Psychopharmacology*, Elsevier, 1965)

Legal Status: not scheduled but potentially controlled analogue of psilocybine



8. DIMETHYLTRYPTAMINE [*Merck Index* 11: 3251]

Synonyms: 3-[2-(dimethylamino)ethyl]-indole; *N,N*-dimethyltryptamine; DMT; nigerine; Dimethyltryptamin

Physical: $C_{12}H_{16}N_2$; molecular weight 188.26; C 76.55% H 8.57% N 14.88%

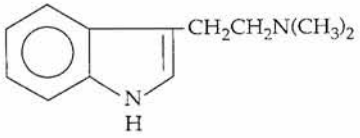
Isolation: Fish, *J. Am. Chem. Soc.* 77: 5892, 1955 (*Anadenanthera peregrina*); Agurell, *Acta Chem. Scand.* 23: 903, 1969 (*Virola theiodora*)

Synthesis: Manske, *Can. J. Res.* 5: 592, 1931; Speeter, *J. Am. Chem. Soc.* 76: 6208, 1954

Chemistry: crystals from ethanol, mp 44.6–46.8°, sol. in dilute acids; picrate mp 169.5–170.5°; methiodide mp 216–217°; fumarate mp 152–152.5°

Pharmacology: entheogenic at 1 mg/kg i.m. (Szára, *Experientia* 12: 441, 1956; Sai-Halász, *Psychiatr. Neurol.* 135: 285, 1958)

Legal Status: controlled



9. DIMETHYL-5-METHOXYTRYPTAMINE

Synonyms: 3-[2-(dimethylamino)ethyl]-5-methoxyindole; 5-methoxy-*N,N*-dimethyltryptamine; 5-MeO-DMT; *O*-methylbufotenine

Physical: $C_{13}H_{18}N_2O$; molecular weight 218.17; C 71.51% H 8.32% N 12.84% O 7.33%

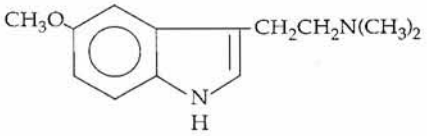
Isolation: Legler, *Naturwiß.* 50: 94, 1963 (*Anadenanthera peregrina*); Holmstedt, *Arch. Int. Pharmacodyn.* 156: 285, 1965 (*Virola theiodora*)

Synthesis: Benington, *J. Org. Chem.* 23: 1977, 1958; Gessner, *Am. J. Physiol.* 203: 167, 1962; Stoll, *Helv. Chim. Acta* 38: 1452, 1955

Chemistry: prismatic crystals from hexane, mp 67.5–68.5°; oxalate mp 173°; picrate mp 175–176°; methiodide mp 183°

Pharmacology: entheogenic at 5–10 mg smoked (Shulgin in De Smet, *J. Ethnopharm.* 9: 129, 1983)

Legal Status: not controlled



10. DIPROPYLTRYPTAMINE

Synonyms: 3-[2-(dipropylamino)ethyl]-indole; *N,N*-dipropyltryptamine; DPT; Dipropyltryptamin

Physical: $C_{16}H_{24}N_2$; molecular weight 244.38; C 78.64% H 9.90% O 11.46%

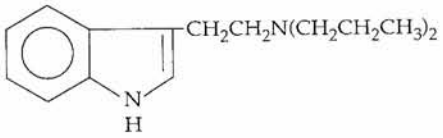
Isolation: artificial compound

Synthesis: Barlow, *Brit. J. Pharmacol.* 14: 99, 1959; Speeter, *J. Am. Chem. Soc.* 76: 6208, 1954; Vitali, *Bol. Sci. Fac. Chim. Ind.* 17: 84, 1959

Chemistry: hydrochloride crystals, mp 174.5–178°, sol. in water

Pharmacology: entheogenic above 1 mg/kg (Szára, *Feder. Proc.* 20: 885, 1961); psychotherapy at 90-100 mg doses (Grof, *Hum. Encoun. Death*, Dutton, 1977)

Legal Status: not controlled



11. **DOB** [*PIHKAL* No. 62]

Synonyms: 2,5-dimethoxy-4-bromo-phenylisopropylamine; 2,5-dimethoxy-4-bromoamphetamine; PBR

Physical: $C_{11}H_{16}NO_2Br$; molecular weight 274.05; C 48.17% H 5.88% N 5.11% O 11.68% Br 29.16%

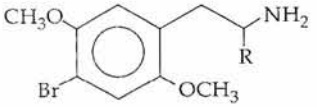
Isolation: artificial compound (Shulgin, *J. Psych. Drugs* 13: 99, 1981)

Synthesis: Shulgin, *Pharmacology* 5: 103, 1971; Sargent, *Neuropharm.* 14: 165, 1975.

Chemistry: crystalline HCl salt from isopropanol or ethanol/ether, mp 198–199°; **11a. 2C-B** [*PIHKAL* No. 20; 2,5-dimethoxy-4-bromo-phenethylamine; $C_{10}H_{14}NO_2Br$; molecular weight 260.03; C 46.17% H 5.42% N 5.38% O 12.30% Br 30.72%] white needles, mp 237–239°(dec); HBr mp 214.5–215°

Pharmacology: entheogenic at 2–3 mg racemate; 1–2 mg *"R"* isomer; toxic at 35–75 mg doses (Shulgin, *J. Psychoact. Drugs* 13: 99, 1981); **2C-B** entheogenic at 12–24 mg (Shulgin, *PIHKAL*, Transform, 1991)

Legal Status: controlled; **2C-B** potentially controlled analogue of DOB



2C-B: R = H
DOB: R = CH_3

12. **DOI** [*PIHKAL* No. 67]

Synonyms: 2,5-dimethoxy-4-iodo-phenylisopropylamine; 2,5-dimethoxy-4-iodo-amphetamine

Physical: $C_{11}H_{16}NO_2I$; molecular weight 321.16; C 41.14% H 5.02% N 4.36% O 9.96% I 39.51%

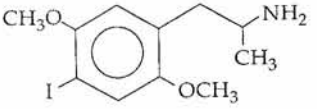
Isolation: artificial compound

Synthesis: Shulgin, *PIHKAL*, Transform, 1991

Chemistry: colorless oil soluble in ether; hydrochloride white crystals, mp 200.5–201.5°

Pharmacology: entheogenic at 1.5–3.0 mg oral (Shulgin, *PIHKAL*, Transform, 1991)

Legal Status: not scheduled but potentially controlled as analogue of DOB



13. DOM [*PIHKAL* No. 68]

Synonyms: 2,5-dimethoxy-4-methyl-phenylisopropylamine; Serenity, Tranquility, Peace; 2,5-dimethoxy-4-methylamphetamine; STP; Stop The Police

Physical: $C_{12}H_{19}NO_2$; molecular weight 209.16; C 68.85% H 9.16% N 6.69% O 15.30%

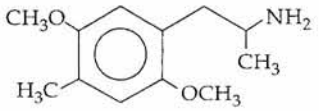
Isolation: artificial compound

Synthesis: Shulgin, *PIHKAL*, Transform, 1991

Chemistry: mp 60–61°; sol. in organic solvents; hydrochloride sol. in water, alcohol, mp 187–188°; sulfate mp 131°

Pharmacology: Snyder, *Science* 158: 669, 1967; Hollister, *Psychopharm.* 14: 62, 1969; 3–10 mg entheogenic orally (Shulgin, *PIHKAL*, Transform, 1991)

Legal Status: controlled



14. ELEMICIN [see *PIHKAL* No. 157, TMA]

Synonyms: 3,4,5-trimethoxy-1-(2-propenyl)benzene

Physical: $C_{12}H_{16}O_3$; molecular weight 208.25; C 69.21% H 7.74% O 23.05%

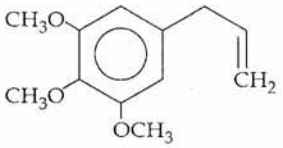
Isolation: Shulgin, *Ethnopharmacologic Search for Psychoactive Drugs*, U.S. Government Printing Office, Washington, D.C., 1967 (*Myristica fragrans*)

Synthesis: Hahn, *Ber. Deut. Chem. Ges.* 67: 696, 1934; Peart, *J. Am. Chem. Soc.* 70: 1747, 1948

Chemistry: bp 175°

Pharmacology: presumed psychoactive component of nutmeg (Shulgin, Truitt, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Of., 1967)

Legal Status: not controlled



15. ERGINE [*Merck Index* 11: 5505]

Synonyms: 9,10-didehydro-6-methylergoline-8β-carboxamide; lysergic acid amide, lysergamide; LA-111; Ergin; Lysergsäure amid

Physical: $C_{16}H_{17}N_3O$; molecular weight 267.32; C 71.88% H 6.41% N 15.72% O 5.99%

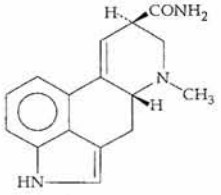
Isolation: Hofmann, *Experientia* 16: 414, 1960 (*Turbina corymbosa*); Arcamone, *Nature* 187: 238, 1960 (*Claviceps paspali*)

Synthesis: Smith, *J. Chem. Soc.* 763, 1932 (from degradation of ergot alkaloids); Stoll, *Helv. Chim. Acta* 38: 421, 1955 (from lysergic acid)

Chemistry: prisms from methanol, mp 242°(dec), water sol.; methanesulfonate prisms from methanol/acetone mp 232°(dec), slightly sol. in water

Pharmacology: entheogenic at 0.5–1 mg oral (Hofmann, *Bot. Mus. Leaf.* Har. Univ. 20: 194, 1963; Solms, *Praxis* 45: 746, 1956)

Legal Status: controlled



16. ERGONOVINE [*Merck Index* 11: 3600]

Synonyms: D-lysergic acid-L-2-propanolamide; Ergobasin; ergotocin; ergometrine; ergostetrine; *N*-[α-(hydroxymethyl)ethyl]-D-lysergamide; *Ergotrate*; *Syntometrine*; Ergonovin

Physical: $C_{19}H_{23}N_3O_2$; molecular weight 325.39; C 70.13% H 7.12% N 12.91% O 9.83%

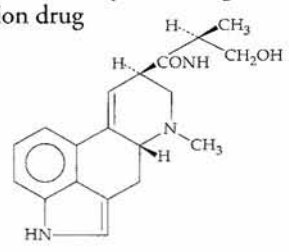
Isolation: Hofmann, *Bot. Mus. Leaf.* Har. Univ. 20: 194, 1963 (*Ipomoea violacea*)

Synthesis: Stoll, *Helv. Chim. Acta* 26: 956, 1943 (from lysergic acid); Kornfield, *J. Am. Chem. Soc.* 76: 5256, 1954 (total synthesis)

Chemistry: tetrahedra from ethyl acetate, needles from benzene mp 162°, sol. in water, alcohols; maleate (*Ermetrine*) mp 167° sol. in water, alcohol

Pharmacology: entheogenic at 2–10 mg oral (Hofmann, *The Road to Eleusis*, Harcourt Br. Jovan. 1978; Bigwood, *J. Psyched. Drugs* 11: 147, 1979)

Legal Status: controlled, prescription drug



17. HARMALINE [*Merck Index* 11: 4528]

Synonyms: 4,9-dihydro-7-methoxy-1-methyl-3*H*-pyrido[3,4-*b*]indole; Harmalin; 3,4-dihydroharmine; harmidine; harmalol methyl ether; Harmidin

Physical: $C_{13}H_{14}N_2O$; molecular weight 214.26; C 72.87% H 6.59% N 13.08% O 7.47%

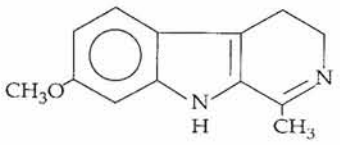
Isolation: Göbel, *Liebig's Ann. der Chem.* 38: 363, 1841 (*Peganum harmala*); Hochstein, *J. Am. Chem. Soc.* 79: 5735, 1957 (*Banisteriopsis caapi*)

Synthesis: Späth, *Ber. Deut. Chem. Gesell.* 63: 120, 2102, 1930; Spenser, *Can J. Chem.* 37: 1851, 1959

Chemistry: prisms, tablets from methanol, octahedra from ethanol mp 229–231°, slightly sol. in water, alcohol, ether; HCl yellow, sol. in water, mp 212°

Pharmacology: psychoactive above 1mg/kg i.v. or 4mg/kg oral (Naranjo, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Off., 1967)

Legal Status: not controlled



18. HARMINE [*Merck Index* 11: 4531]

Synonyms: 7-methoxy-1-methyl-9*H*-pyrido[3,4-*b*]indole; banisterine; telepathine; yajéine; yajéina; leucoharmine; Banisterin, Harmin; telepatina

Physical: $C_{13}H_{12}N_2O$; molecular weight 212.25; C 73.56% H 5.70% N 13.20% O 7.54%

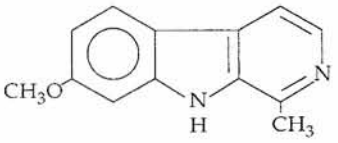
Isolation: Fritzsche, *Liebig's Ann. der Chem.* 64: 360, 1847 (*Peganum harmala*); Hochstein, *J. Am. Chem. Soc.* 79: 5735, 1957 (*Banisteriopsis caapi*)

Synthesis: Späth, *Ber. Deut. Chm. Gesel.* 63B: 120, 1930; Harvey, *J. Chem. Soc.* 97, 1938

Chemistry: prisms from methanol mp 261°(dec), slightly sol. in water, alcohol, chloroform, ether; HCl mp 262°, 321° anhydrous, sol. in water

Pharmacology: psychoactive above 2mg/kg i.v. 8mg/kg oral (Naranjo, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Off., 1967); sedative

Legal Status: not controlled



19. HYOSCYAMINE [*Merck Index* 11: 4795]

Synonyms: *l*-tropyl tropate; Hyoscyamin; α-(hydroxymethyl)benzene-acetic acid 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester; daturine; duboisine

Physical: $C_{17}H_{23}NO_3$; molecular weight 289.38; C 70.56% H 8.01% N 4.84% O 16.59%

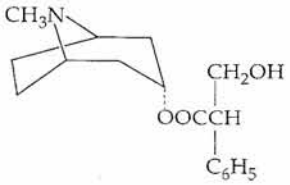
Isolation: Ladenburg, *Liebig's Ann. Chem.* 206: 274, 1881 (*Atropa, Datura, Hyoscyamus* spp.)

Synthesis: Werner, *Liebig's Ann. Chem.* 631: 163, 1960; Fodor, *Acta Chim. Acad. Sci. Hung.* 28: 409, 1961 (from atropine)

Chemistry: needles from alcohol mp 108.5°, sol. in alcohol, chloroform, slightly in ether, benzene, water; HBr mp 152° sol. in water, chloroform, alcohol

Pharmacology: deliriant (Gosselin, *Clinical Toxicology of Commercial Products*, Williams & Wilkins, 1984)

Legal Status: controlled, prescription drug



20. IBOGAINE [*Merck Index* 11: 4806]

Synonyms: 12-methoxy-ibogamine; Ibogain

Physical: $C_{20}H_{26}N_2O$; molecular weight 310.42; C 77.38% H 8.44% N 9.03% O 5.15%

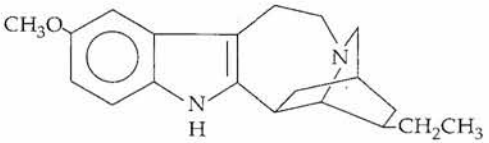
Isolation: Dybowski, *Compt. Rend. Acad. Sci.* 133: 748, 1901 (*Tabernanthe iboga*); Van Beek, *J. Ethnopharmacol.* 10: 1, 1984 (*Tabernaemontana* spp.)

Synthesis: Büchi, *J. Am. Chem. Soc.* 88: 3099, 1966; Rosenmund, *Ber. Deut. Chem. Gesell.* 108: 1871, 1975

Chemistry: prismatic needles from ethanol mp 152–153°, sol. in ethanol, ether, chloroform, acetone; hydrochloride mp 299–300°(dec), sol. in water

Pharmacology: entheogenic above 1mg/kg (Schneider, *Ann. N.Y. Acad. Sci.* 66: 765, 1957; Pope, *Econ. Bot.* 23: 174, 1969)

Legal Status: controlled



21. IBOTENIC ACID [*Merck Index* 11: 4808]

Synonyms: α-amino-2,3-dihydro-3-oxo-5-isoxazoleacetic acid; Prämuscimol; α-amino-(3-hydroxy-5-isoxazolyl)acetic acid; Pilzatropin; Ibotensäure

Physical: $C_5H_6N_2O_4$; molecular weight 158.11; C 37.98% H 3.83% N 17.71% O 40.48%

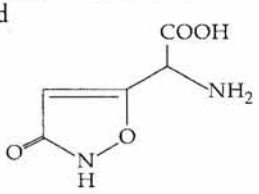
Isolation: Takemoto, *Yakugaku Zasshi* 84: 1186, 1964 (*Amanita strobiliformis*); Eugster, *Tet. Lett.* 1813, 1965 (*Amanita muscaria*)

Synthesis: Gagneux, *Tet. Lett.* 2081, 1965; Nakamura, *Chem. Pharm. Bull. Jpn.* 19: 46, 1971; Kishida, *ibid.* 14: 92, 1966; Sirakawa, *ibid.* 14: 89, 1966

Chemistry: crystals from water or methanol, mp 151–152° (anhyd.), 144–146° (monohydrate), sol. in water

Pharmacology: entheogenic above 1 mg/kg (Chilton, *McIlvainea* 2: 17, 1975); flavor enhancer, experimental neurotoxin

Legal Status: not controlled



22. KAWAIN [*Merck Index* 11: 5167]

Synonyms: 5,6-dihydro-4-methoxy-6-(2-phenylethenyl)-2*H*-pyran-2- one; kavain, gonosan

Physical: $C_{14}H_{14}O_3$; molecular weight 230.25; C 73.02% H 6.13% O 20.85%

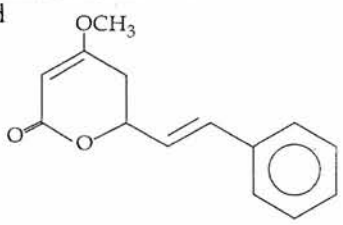
Isolation: Borsche, *Ber. Deut. Chem. Gesell.* 63: 2414, 1930; Hänsel, *Naturwiß.* 45: 573, 1958 (*Piper methysticum*)

Synthesis: Fowler, *J. Chem. Soc.* 3642, 1950; Kostermans, *Nature* 166: 788, 1950

Chemistry: rods from methanol/ether mp 105–106°, sol. in acetone, ether, methanol, pract. insol. in water, slightly sol. in hexane; **22a. DIHYDROKAWAIN** [marindinin; $C_{14}H_{16}O_3$; molecular weight 232.14; C 72.38% H 6.95% 20.68%] cryst. from ether mp 58–60°, sol. in alcohol, chloroform, slightly in ether; pract. insol. in water, petr. ether

Pharmacology: muscle relaxant (Meyer, *Ethno. Search Psych. Drugs*, U.S. Gov't. Print. Of., 1967); **DIHYDROKAWAIN** human sedative (Pfeiffer, *ibid.*)

Legal Status: not controlled



23. LSD [*Merck Index* 11: 5507]

Synonyms: 9,10-didehydro-*N,N*-diethyl-6-methylergoline-8β-carboxamide; D-lysergic acid diethylamide; Lysergsäure Diäthylamid; LSD-25; lysergide

Physical: $C_{20}H_{25}N_3O$; molecular weight 323.42; C 74.27% H 7.79% N 12.99% O 4.95%

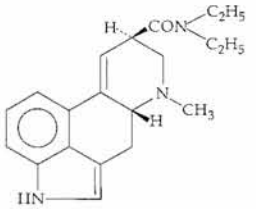
Isolation: artificial compound

Synthesis: Stoll, *Helv. Chim. Acta* 26: 944, 1943; Stoll, *Helv. Chim. Acta* 38: 421, 1955

Chemistry: prisms from benzene, mp 80–85°; D-tartrate (*Delysid*) prisms from methanol, mp 198–200°, sol. in water

Pharmacology: entheogenic above 1 mcg/kg (Hofmann, *LSD: My Problem Child*, Tarcher, 1983; Shulgin, *J. Psyched. Drugs* 12: 173, 1980)

Legal Status: controlled



24. MDA [*PIHKAL* No. 100]

Synonyms: 3,4-methylene-dioxyphenylisopropylamine; *Amphedoxamine*; 3,4-methylene-dioxyamphetamine, Love Drug; SKF-5; EA-1298

Physical: $C_{10}H_{13}NO_2$; molecular weight 179.22; C 67.02% H 7.31% N 7.82% O 17.86%

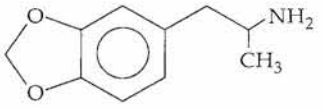
Isolation: artificial compound

Synthesis: E. Merck Co., Ger. pat. 274,350, 1914; Shulgin, *PIHKAL*, Transform, 1991

Chemistry: oil, bp 80–90°; hydrochloride crystals from isopropanol, mp 187–8°

Pharmacology: psychoactive above 1–2mg/kg oral (Alles, *Neuropharmacology*, Macy Found., 1959; Naranjo, *Med. Pharm. Exp.* 17: 357, 1967)

Legal Status: controlled



25. MDMA [*Merck Index* 11: 5646; *PIHKAL* No. 109]

Synonyms: *N*,α-dimethyl-1,3-benzodioxole-5-ethanamine; Adam; 3,4-methylene-dioxymethamphetamine; Ecstasy, XTC

Physical: $C_{11}H_{15}NO_2$; molecular weight 193.25; C 68.37% H 7.82% N 7.25% O 16.56%

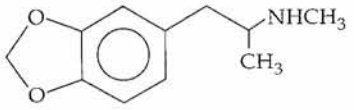
Isolation: artificial compound

Synthesis: E. Merck Co., Ger. pat. 274,350, 1914; Kasuya, *Yakugaku Zasshi* 78: 509, 1958; Krajewski, *Acta Polon. Pharm.* 17: 421, 1960

Chemistry: oil, bp 100–110°; HCl (Ecstasy), crystals mp 148–149°, sol in water

Pharmacology: psychoactive above 1–2mg/kg oral (Braun, *J. Pharm. Sci.* 69: 192, 1980; Braun, *Arzneimittel-Forsch.* 30: 825, 1980)

Legal Status: controlled



26. MMDA [*PIHKAL* No. 132]

Synonyms: 3-methoxy-4,5-methylenedioxy-phenylisopropylamine; 3-methoxy-4,5-methylenedioxyamphetamine

Physical: $C_{11}H_{15}NO_3$; molecular weight 209.24; C 63.14% H 7.22% N 6.69% O 22.94%

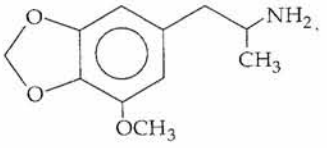
Isolation: artificial compound

Synthesis: Shulgin, *PIHKAL*, Transform, 1991

Chemistry: hydrochloride white crystals, mp 190–191°

Pharmacology: entheogenic at oral doses of 100–250 mg (Shulgin, *PIHKAL*, Transform, 1991)

Legal Status: controlled



27. **MESCALINE** [*Merck Index* 11: 5808; *PIHKAL* No. 96]

Synonyms: 3,4,5-trimethoxy-benzeneethanamine; 3,4,5-trimethoxy-β-phenethylamine; Mezcalin, Mescalin, Meskalin; Mezkalin

Physical: $C_{11}H_{17}NO_3$; molecular weight 211.25; C 62.54% H 8.11% N 6.63% O 22.72%

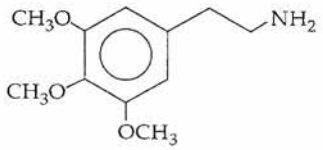
Isolation: Heffter, *Ber. Deut. Chem. Gesell.* 29: 221, 1896 (*Lophophora* spp.); Poisson, *Ann. Pharm. Franc.* 18: 764, 1960 (*Trichocereus* spp.)

Synthesis: Späth, *Monatsh. Chem.* 40: 129, 1919; Aboul-Enein, *Acta Pharm. Suec.* 16: 267, 1979

Chemistry: crystals mp 35–36°, bp 180°, sol. in water, alcohol, chloroform, benzene; HCl mp 181°, sol. in water; sulfate mp 183–186°, sol. in water

Pharmacology: entheogenic above 2–3 mg/kg (Heffter, *Arch. Exp. Path. Pharm.* 40: 385, 1898; Anderson, *Peyote the Divine Cactus*, Univ. Arizona, 1980)

Legal Status: controlled



28. **METHYLERGONOVINE** [*Merck Index* 11: 5989]

Synonyms: 9,10-didehydro-*N*-[1-(hydroxymethyl)propyl]-D-lysergamide; D-lysergic acid(+)-2-butanolamide; methylergometrine; Methylergobasin; Methylergonovin

Physical: $C_{20}H_{25}N_3O_2$; molecular weight 339.42; C 70.77% H 7.42% N 12.38% O 9.43%

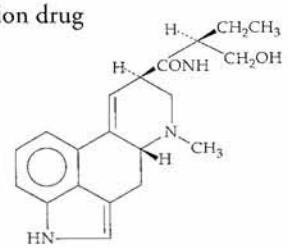
Isolation: artificial compound

Synthesis: Stoll, *Helv. Chim. Acta* 26: 956, 1943; Stoll, U.S. pat. 2,265,207, 1941

Chemistry: crystals from benzene mp 172°, sparingly sol. in water, sol. in alcohol, acetone; maleate (*Methergine*) powder, sol. in water, alcohol, chloroform

Pharmacology: entheogenic above 2 mg (Ott, *J. Psyched. Drugs* 12: 165, 1980); oxytocic at 0.2 mg (Hofmann, *Mutterkornalkaloide*, F. Enke, 1964)

Legal Status: controlled, prescription drug



29. METHYLISOPROPYL-4-HYDROXYTRYPTAMINE

Synonyms: 3-[2-(methylisopropylamino)ethyl]-1*H*-indol-4-ol; 4-OH-MIPT; 4-hydroxy-*N*-methyl-*N*-isopropyltryptamine

Physical: $C_{14}H_{20}N_2O$; molecular weight 232.45; C 72.34% H 8.67%; N 12.10% O 6.88%

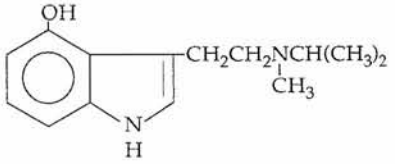
Isolation: artificial compound

Synthesis: Repke, *J. Heterocycl. Chem.* 18: 175, 1981

Chemistry: crystals from ethyl acetate/hexane, mp 123–124°

Pharmacology: entheogenic at 10 mg orally (Repke, *J. Med. Chem.* 28: 892, 1985)

Legal Status: not scheduled but potentially controlled analogue of psilocine



30. METHYLISOPROPYL-5-METHOXYTRYPTAMINE

Synonyms: 3-[2-(methylisopropyl)ethyl]-5-methoxyindole; 5-MeO-MIPT; 5-methoxy-*N*-methyl-*N*-isopropyltryptamine

Physical: $C_{15}H_{22}N_2O$; molecular weight 246.35; C 73.13% H 9.00% N 11.37% O 6.49%

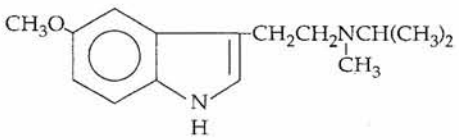
Isolation: artificial compound

Synthesis: Repke, *J. Med. Chem.* 28: 892, 1985

Chemistry: hydrochloride mp 162–163°

Pharmacology: stimulant with "general heightening of awareness" at 5 mg orally (Repke, *J. Med. Chem.* 28: 892, 1985)

Legal Status: not controlled



31. METHYSERGIDE [*Merck Index* 11: 6055]

Synonyms: 9,10-didehydro-*N*-[1-(hydroxymethyl)propyl]-1,6-dimethyl ergoline-8-carboxamide; 1-methyl-D-lysergic acid butanolamide; UML-491

Physical: $C_{21}H_{27}N_3O_2$; molecular weight 353.45; C 71.36% H 7.70% N 11.89% O 9.05%

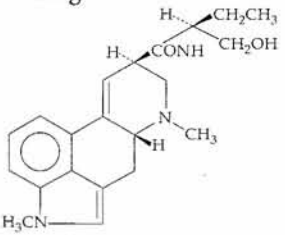
Isolation: artificial compound

Synthesis: Troxler, *Helv. Chim. Acta* 40: 1706, 1957; Sandoz Ltd., U.S. pat. 3,218,324, 1965

Chemistry: crystals mp 194–196°; hydrogen maleate (*Sansert, Deseril*); dimaleate dec. above 165°

Pharmacology: entheogenic above 7.5 mg oral, threshold dose (=25 mcg LSD) 4.3 mg (Abramson, *Use of LSD in Psychother.*, Bobbs Merrill, 1967)

Legal Status: controlled, prescription drug



32. METHYSTICIN [*Merck Index* 11: 6056]

Synonyms: 6-[2-(1,3-benzodioxol-5-yl)ethenyl]-5,6-dihydro-4-methoxy-2*H*-pyran-2-one; kavahin; kavatin; kavakin; kawakin; kanakin

Physical: $C_{15}H_{14}O_5$; molecular weight 274.26; C 65.69% H 5.15% O 29.17%

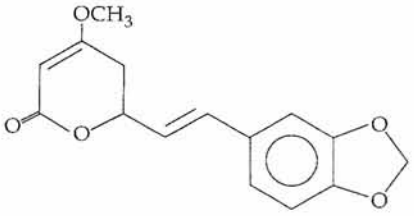
Isolation: Cuzent, *C.R. Acad. Sci.* 52: 205, 1861; Gobley, *J. Pharm. Chem.* 37: 19, 1860 (*Piper methysticum*)

Synthesis: Klohs, *J. Org. Chem.* 24: 1829, 1959

Chemistry: crystals from methanol mp 132–134°, sol. in alcohol, benzene, chloroform, ether, acetone, pract. insol. in water; **32a. DIHYDRO-METHYSTICIN**, [$C_{15}H_{16}O_5$; molecular weight 276.14; C 65.19% H 5.84% O 28.97%], prisms from methanol mp 118°,

Pharmacology: muscle relaxant (Meyer, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Of., 1967); human anti-convulsant (Pfeiffer, *ibid.*); **DIHYDROMETHYSTICIN** human anti-convulsant (Pfeiffer *ibid.*)

Legal Status: not controlled



33. **MUSCAZONE** [*Merck Index* 11: 6220]

Synonyms: α-amino-2,3-dihydro-2-oxo-5-oxazoleacetic acid; α-amino-2-oxo-4-oxazoline-5-acetic acid; Muscazon

Physical: $C_5H_6N_2O_4$; molecular weight 158.11; C 37.98% H 3.83% N 17.72% O 40.48%

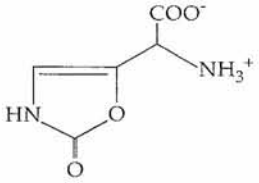
Isolation: Eugster, *Tet. Lett.* 1813, 1965 (*Amanita muscaria*); Ott, unpublished (*Amanita pantherina*)

Synthesis: Göth, *Helv. Chim. Acta* 50: 137, 1967; Chilton, unpublished (light-catalyzed rearrangement of ibotenic acid)

Chemistry: crystals, dec. above 190°, sol. in water

Pharmacology: human activity unknown but has weak activity in neurochemical tests (Lanthorn, Searle Co., unpublished communication)

Legal Status: not controlled



34. **MUSCIMOL** [*Merck Index* 11: 6221]

Synonyms: 5-(aminomethyl)-3-[2*H*]-isoxazolone;3-hydroxy-5-aminomethyl-isoxazole; Agarin; pantherine; muscimole

Physical: $C_4H_6N_2O_2$; molecular weight 114.10 C 42.10% H 5.30% N 24.55% O 28.05%

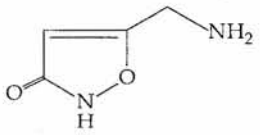
Isolation: Onda, *Chem. Pharm. Bull. Jpn.* 12: 751, 1964 (*Amanita pantherina*); Bowden, *Tet. Lett.* 727, 1965; Eugster, *Helv. Chim. Acta* 48: 910, 1965 (*A. muscaria*)

Synthesis: Gagneux, *Tet. Lett.* 2077, 1965; Welch, *Synth. Comm.* 12: 1089, 1982; Ott, *Physiol. Chem. Phys.* 7: 381, 1975 (from ibotenic acid)

Chemistry: crystals from methanol/water, mp 174–175°(dec), very sol. in water; star-shaped crystals from water, mp 155–156°

Pharmacology: 15 mg psychoactive (Waser, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Of., 1967); 20 mg entheogenic (Ott, unpublished)

Legal Status: not controlled



35. MYRISTICIN [*Merck Index* 11: 6247; see *PIHKAL* No. 157]

Synonyms: 4-methoxy-6-(2-propenyl)-1,3-benzodioxole; 5-allyl-1-methoxy-2,3-(methylenedioxy)benzene

Physical: $C_{11}H_{12}O_3$; molecular weight 192.22; C 68.73% H 6.29% O 24.97%

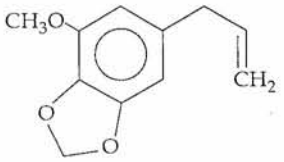
Isolation: Power, *J. Chem. Soc.* 91: 2037, 1907; Shulgin, *Nature* 197: 379, 1963 (*Myristica fragrans*)

Synthesis: Trikojus, *Nature* 14: 1016, 1939; Wulf, *J. Chromatogr.* 161: 271, 1978

Chemistry: colorless oil bp 173°, sol. in ether, benzene

Pharmacology: psychotropic at 400 mg dose (Shulgin, *Nature* 210: 380, 1966; Shulgin, Truitt, *Ethnopharm. Search. Psychoact. Drugs*, US Gov't. Print. Of., 1967)

Legal Status: not controlled



36. NICOTINE [*Merck Index* 11: 6434]

Synonyms: 3-(1-methyl-2-pyrrolidinyl)pyridine; 1-methyl-2-(3-pyridyl)pyrrolidine; Nicotin; *Nicorette*; *Nicoderm*

Physical: $C_{10}H_{14}N_2$; molecular weight 162.23; C 74.03% H 8.70% N 17.27%

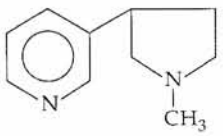
Isolation: Gattermann, *Laboratory Methods of Organic Chemistry*, New York, 1937

Synthesis: Pinner, *Ber. Deut. Chem. Gesell.* 26: 294, 1893

Chemistry: oily liquid bp 247°, sol. in alcohol, chloroform, ether, petroleum ether; tartrate dihydrate crystals mp 90°, sol. in water, alcohol

Pharmacology: Gosselin, *Clin. Toxicol. Commer. Prod.*, Williams & Wilkins, 1976; Wilbert, *Tobacco & Shamanism in S. Amer.*, Yale Univ. Press, 1987

Legal Status: controlled, prescription drug



37. NORBAEOCYSTINE

Synonyms: 3-aminoethyl-1*H*-indol-4-ol dihydrogen phosphate ester; 4-phosphoryloxytryptamine; *bis*-desmethylpsilocybine; Norbaeocystin

Physical: $C_{10}H_{13}N_2O_4P$; molecular weight 256.20; C 46.88% H 5.11% N 10.93% O 24.98% P 12.09%

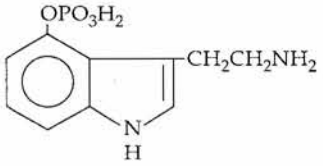
Isolation: Leung, *J. Pharm. Sci.* 57: 1667, 1968 (*Psilocybe baeocystis*)

Synthesis: Troxler, *Helv. Chim. Acta* 42: 2073, 1959; Brenneisen, *Arch. Pharm.* 321: 487, 1988 (baeocystine)

Chemistry: crystals from methanol, mp 188–192 °, sol. in water, methanol

Pharmacology: unknown, but likely dephosphorylates *in vivo* to 4-hydroxytryptamine, a probable entheogen (Cerletti, *Adv. Pharmacol.* 6B: 233, 1968)

Legal Status: not scheduled but potentially controlled as analogue of psilocybine



38. PSILOCINE [*Merck Index* 11: 7941]

Synonyms: 3-[2-(dimethylamino)ethyl]-1*H*-indol-4-ol; psilocin; 4-hydroxy-*N,N*-dimethyltryptamine; psilocyn (legal misspelling); Psilocin

Physical: $C_{12}H_{16}N_2O$; molecular weight 204.27; C 70.56% H 7.90% N 13.71% O 7.83%

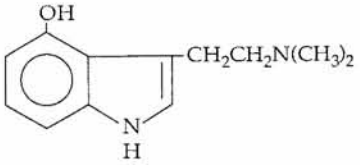
Isolation: Hofmann, *Experientia* 14: 107, 1958 (*Psilocybe mexicana*); Leung, *J. Pharm. Sci.* 51: 393, 1962 (det. in *Psilocybe baeocystis*)

Synthesis: Hofmann, *Helv. Chim. Acta* 42: 1557, 1959; Sandoz Ltd., Ger. pat. 1,087,321, 1960

Chemistry: plates from methanol, mp 173–176°, slightly sol. in water, sol. in methanol, ethanol, chloroform

Pharmacology: entheogenic above 6 mg, 2–4 mg threshold (=25 mcg LSD) dose (Abramson, *Use of LSD in Psychother.*, Bobbs Merrill, 1967)

Legal Status: controlled



39. PSILOCYBINE [*Merck Index* 11: 7942]

Synonyms: 3-[2-(dimethylamino)ethyl]-1*H*-indol-4-ol dihydrogen phosphate ester; *O*-phosphoryl-4-hydroxy-*N,N*-dimethyltryptamine; *Indocybin*; CY-39; Psilocybin

Physical: $C_{12}H_{17}N_2O_4P$; molecular weight 284.27; C 50.70% H 6.03% N 9.86% O 22.51% P 10.90%

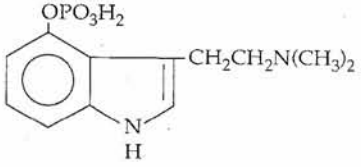
Isolation: Hofmann, *Experientia* 14: 107, 1958 (*Psilocybe mexicana*); Hatfield, *Lloydia* 41: 140, 1978 (*Gymnopilus validipes*)

Synthesis: Hofmann, *Helv. Chim. Acta* 42: 1557, 1959; Sandoz Ltd., Ger. pat. 1,087,321, 1960

Chemistry: crystals from boiling water, mp 220–228°, from boiling methanol mp 185–195°, sol. in boiling water, methanol; insol.. in benzene, chloroform

Pharmacology: entheogenic above 10 mg (Delay, *C. R. Acad. Sci.* 247: 1235, 1958); threshold 3.4 mg (Abramson, *Use LSD Psychother.*, Bobbs Merrill, 1967)

Legal Status: controlled



40. SAFROLE [*Merck Index* 11: 8287; see *PIHKAL* No. 157]

Synonyms: 5-(2-propenyl)-1,3-benzodioxole; allylcatechol methylene ether; Safrol

Physical: $C_{10}H_{10}O_2$; molecular weight 162.18; C 74.05% H 6.22% O 19.73%

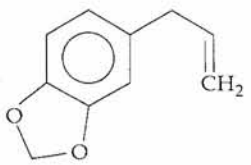
Isolation: Power, *J. Chem. Soc.* 91: 2037, 1907; Bejnarowicz, *J. Pharm. Sci.* 53: 988, 1963 (*Myristica fragrans*)

Synthesis: none reported

Chemistry: slightly yellow oil bp 232–234°, sol. in alcohol, ether, chloroform, insol. in water

Pharmacology: presumed psychotropic constituent of nutmeg (Shulgin, *Ethnopharm. Search Psychoact. Drugs*, U.S. Gov't. Print. Of., 1967)

Legal Status: not controlled; carcinogen (IARC Monographs 10: 231, 1976)



41. SALVINORIN A

Synonyms: divinorin A

Physical: $C_{23}H_{28}O_8$; molecular weight 432.47; C 63.88% H 6.53% O 29.6%

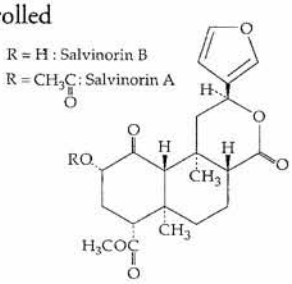
Isolation: Ortega, *J. Chem. Soc.* 1: 2505, 1982; Valdés, *J. Org. Chem.* 49: 4716, 1984 (*Salvia divinorum*)

Synthesis: none reported

Chemistry: colorless, orthorhombic crystals from methanol, mp 238–240°; 242–244° from ethanol; **41a. SALVINORIN B** [divinorin B; $C_{21}H_{26}O_7$; molecular weight 390.23; C 64.58% H 6.71% O 28.70%] crystals from methanol, mp 213–216°

Pharmacology: sedative effect on mice; human pharmacology unknown (Valdés, *J. Org. Chem.* 49: 4716, 1984); **SALVINORIN B** inactive in mouse assay

Legal Status: not controlled



42. SCOPOLAMINE [*Merck Index* 11: 8361]

Synonyms: [7(*S*)-(1α,2β,4β,5α,7β)]-α-(hydroxymethyl)benzeneacetic acid 9-methyl-3-oxa-9-azatricyclo-[3.3.1.$0^{2,4}$]non-7-yl ester; hyoscine; *Scopoderm TTS*; *Transderm-V*; Scopolamin; Hyoscin; hyoscine

Physical: $C_{17}H_{21}NO_4$; molecular weight 303.35; C 67.31% H 6.98% N 4.62% O 21.10%

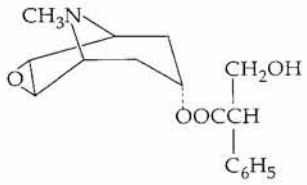
Isolation: Ladenburg, *Liebig's Ann. Chem.* 206: 274, 1881 (*Datura*, *Scopolia* spp.); Chemnitius, *J. Prakt. Chem.* 120: 221, 1928

Synthesis: Fodor, *Chem. & Industry* 764, 1956; Dobo, *J. Chem. Soc.* 3461, 1959

Chemistry: viscous liquid, monohydrate crystals mp 59°, sol. in water, alcohol, ether, chloroform, acetone; HBr trihydrate mp 195°, sol. in water, alcohol

Pharmacology: deliriant (Heimann, *Die Scopolaminwirkung*, S. Karger, 1952)

Legal Status: controlled, prescription drug



43. SCOPOLETIN [*Merck Index* 11: 8363]

Synonyms: 7-hydroxy-6-methoxy-2*H*-1-benzopyran-2-one; 7-hydroxy-6-methoxy coumarin; chrysatropic acid; gelseminic acid; scopoletine

Physical: $C_{10}H_8O_4$; molecular weight 192.16; C 62.50% H 4.20% O 33.30%

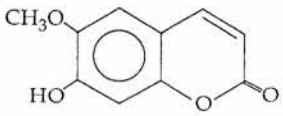
Isolation: Eykman, *Ber. Deut. Chem. Gesell.* 17III: 442, 1884; Schultes, *Bot. & Chem. of Hallucinogens*, C.C. Thomas, 1980 (*Brunfelsia grandiflora*)

Synthesis: Crosby, *J. Org. Chem.* 26: 1215, 1961

Chemistry: needles or prisms from acetic acid or chloroform mp 204°, sol. in hot alcohol, hot acetic acid, chloroform, slightly sol. in water, alcohol

Pharmacology: psychopharmacological activity in mice (Schultes, *Bot. and Chem. of Hallucinogens*, C.C. Thomas, 1980); human pharmacology unknown

Legal Status: not controlled



44. TABERNANTHINE [*Merck Index* 11: 9000]

Synonyms: 13-methoxy-ibogamine; Tabernanthin

Physical: $C_{20}H_{26}N_2O$; molecular weight 310.42; C 77.38% H 8.44% N 9.03% O 5.15%

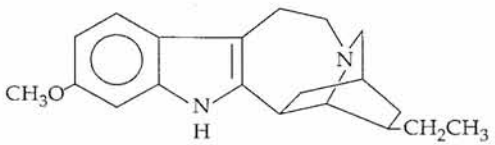
Isolation: Delourme-Houdé, *Ann. Pharm. Franç.* 4: 30, 1946 (*Tabernanthe iboga*); Dickel, *J. Am. Chem. Soc.* 80: 123, 1958 (*Tabernaemontana, Stemmadenia* spp.)

Synthesis: Bartlett, *J. Am. Chem. Soc.* 80: 126, 1958 (structure)

Chemistry: needles from ethanol mp 213.5–215°, sol. in alcohol, benzene, ether, chloroform, pract. insol. in water; HCl mp 210°, sol. in water

Pharmacology: CNS stimulant (Zetler, *Arzneimittel-Forsch.* 14: 1277, 1964); effect like ibogaine (Zetler, *N. Schmied. Arch. Pharm.* 260: 26, 1968)

Legal Status: not controlled



45. TETRAHYDROCANNABINOLS [*Merck Index* 11: 9142]

Synonyms: tetrahydro-6,6,9-trimethyl-3-pentyl-6*H*-dibenzo[*b,d*]pyran-1-ol; THC; *Marinol*

Physical: $C_{21}H_{30}O_2$; molecular weight 314.45; C 80.21% H 9.62% O 10.18%

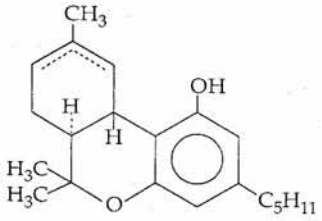
Isolation: Gaoni, *J. Am. Chem. Soc.* 86: 1646, 1964 (Δ^1-3,4-*trans*-THC); Hively, *J. Am. Chem. Soc.* 88: 1832, 1966 (Δ^6-3,4-*trans*-THC) (*Cannabis* spp.)

Synthesis: Mechoulam, *J. Am. Chem. Soc.* 89: 4552, 1967, both (–)-Δ^1-3,4-*trans*-THC and (–)-Δ^6-3,4-*trans*-THC

Chemistry: Δ^1-3,4-*trans*-THC and Δ^6-3,4-*trans*-THC oils, bp 200°, sol. in oils

Pharmacology: Δ^1-THC psychoactive 3–5 mg (Mechoulam, *Fortschr. Chem. Org. Naturst.* 25: 175, 1967); Δ^6-THC above 0.25mg/kg (Mechoulam, *Marijuana*, Academic Pr., 1973)

Legal Status: controlled



46. THUJONES [*Merck Index* 11: 9326]

Synonyms: 4-methyl-1-(1-methylethyl)bicyclo[3.1.0]-hexan-3-one; 3-thujanone; absinthol; absynthol; salvanol; tanacetone; salviol; 3-sabinone

Physical: $C_{10}H_{16}O$; molecular weight 152.23; C 78.89% H 10.59% O 10.51%

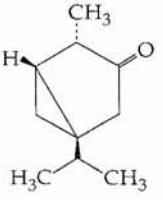
Isolation: Gildemeister, *The Volatile Oils*, Pharmaceut. Rev. Publ., Milwaukee, 1900 (*Artemisia absinthium*)

Synthesis: Kutney, *Bioorg. Chem.* 7: 289, 1978; Kutney, *Can. J. Chem.* 57: 3145, 1979

Chemistry: colorless liquid, α-thujone bp 83.8–84.1°; β-thujone bp 85.7–86.2°, pract. insol. in water, sol. in alcohol, ether, chloroform, acetone

Pharmacology: Albert-Puleo, *Econ. Bot.* 32: 65, 1978; Del Castillo, *Nature* 253: 365, 1975

Legal Status: not controlled as drug, but as food additive



47. **TMA-2** [*PIHKAL* No. 158]

Synonyms: 2,4,5-trimethoxy-phenylisopropylamine;2,4,5-trimethoxyamphetamine

Physical: $C_{12}H_{19}NO_3$; molecular weight 225.29; C 63.98% H 8.50% N 6.22% O 21.30%

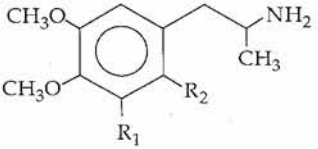
Isolation: artificial compound

Synthesis: Shulgin, *Nature* 189: 1011, 1961; Shulgin, *PIHKAL*, Transform, 1991

Chemistry: hydrochloride fine white crystals, mp 188.5–189.5°; **47a. TMA** [3,4,5-trimethoxyamphetamine; EA-1319; $C_{12}H_{19}NO_3$; molecular weight 225.29; C 63.98% H 8.50% N 6.22% O 21.30%] hydrochloride white crystals, mp 195–211°

Pharmacology: entheogenic at 20–40 mg orally (Shulgin, *Nature* 189: 1011, 1961; Shulgin, *PIHKAL*, Transform, 1991); **TMA** entheogenic at 100–250 mg orally (Shulgin, *PIHKAL*, Transform, 1991)

Legal Status: TMA-2 controlled indirectly as isomer of TMA [*PIHKAL* No. 157]



$R_1 = H, R_2 = OCH_3$: TMA-2
$R_1 = OCH_3, R_2 = H$: TMA

48. **TRICHOLOMIC ACID**

Synonyms: L-*erythro*-α-amino-3-oxo-5-isoxazolidine acetic acid; dihydro-ibotenic acid; Dihydroibotensäure; Tricholomisäure

Physical: $C_5H_8N_2O_4$; molecular weight 160.13; C 37.50% H 5.04% N 17.49% O 39.97%

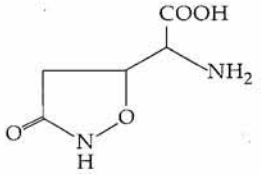
Isolation: Takemoto, *Yakugaku Zasshi* 84: 1183, 1964 (*Tricholoma muscarium*); Takeda Ltd., Jpn. pat. 69 16,354, 1969 (*Tricholomopsis rutilans*)

Synthesis: Kamiya, *Chem. Pharm. Bull. Jpn.* 14: 1307, 1966; of racemate: Iwasaki, *Chem. Pharm. Bull. Jpn.* 13: 753, 1965

Chemistry: prisms from methanol/water, mp 207°(dec), sol. in water; synthetic racemate mp 195–198° (dec)

Pharmacology: insecticidal (Takemoto, *Yakugaku Zasshi* 33: 252, 1961); neurotoxic activity like ibotenic acid (Shinozaki, *Brain Res.* 24: 368, 1970)

Legal Status: not controlled



49. VOACANGINE [*Merck Index* 11: 9944, Voacamine]

Synonyms: 12-methoxyibogamine-18-carboxylic acid methyl ester; carbomethoxyibogaine; Voacangin

Physical: $C_{22}H_{28}N_2O_3$; molecular weight 368.48; C 71.71% H 7.66% N 7.60% O 13.03%

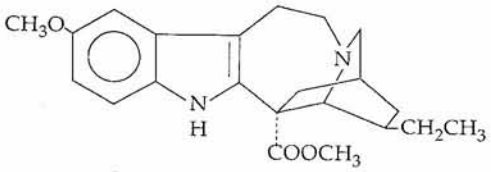
Isolation: Janot, *Compt. Rend. Acad. Sci.* 240: 1719, 1955 (*Voacanga* spp.); Gorman, *J. Am. Chem. Soc.* 82: 1142, 1960; (*Tabernaemontana* spp.)

Synthesis: Bartlett, *J. Am. Chem. Soc.* 80: 126, 1958 (structure); Winkler, *Naturwiß.* 48: 694, 1961 (acid degradation of voacamine)

Chemistry: prismatic needles from ethanol mp 136–137°, sol. in acetone, chloroform, slightly sol. in ethanol, methanol

Pharmacology: CNS stimulant (Zetler, *Arzneimittel-Forsch.* 14: 1277, 1964); effect like ibogaine (Zetler, *N. Schmied. Arch. Pharm.* 260: 26, 1968)

Legal Status: not controlled



50. YANGONIN [*Merck Index* 11: 10001]

Synonyms: 4-methoxy-6-[2-(4-methoxyphenyl)ethenyl]-2*H*-pyran-2-one

Physical: $C_{15}H_{14}O_4$; molecular weight 258.26; C 69.76% H 5.46% O 24.78%

Isolation: Winzhermer, *N. Schmied. Arch. Pharm.* 246: 338, 1908 (*Piper methysticum*); Shibata, *Bull. Chem. Soc. Jpn.* 45: 930, 1972 (*Ranunculus quelpaertensis*)

Synthesis: Harris, *J. Org. Chem.* 33: 2399, 1968; Bacardit, *J. Heterocycl. Chem.* 19: 157, 1982

Chemistry: crystals from methanol mp 155–157°, sol. in hot ethanol, acetic acid, ethyl acetate, acetone, pract. insol. in water

Pharmacology: muscle relaxant (Meyer, *Ethnopharmacologic Search for Psychoactive Drugs*, U.S. Gov't. Printing Office, 1967)

Legal Status: not controlled